

Tetrahedron Letters Vol. 51, No. 42, 2010

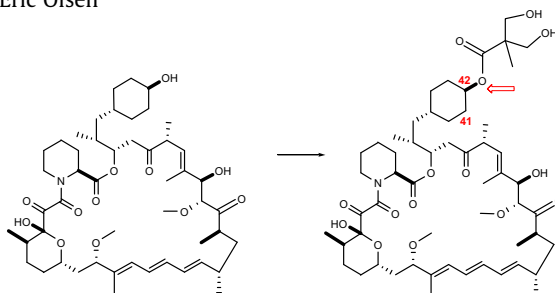
Contents

COMMUNICATIONS

Regioselective lipase-catalyzed acylation of 41-desmethoxy-rapamycin without vinyl esters

pp 5511–5515

Thomas Storz*, Jianxin Gu, Bogdan Wilk, Eric Olsen



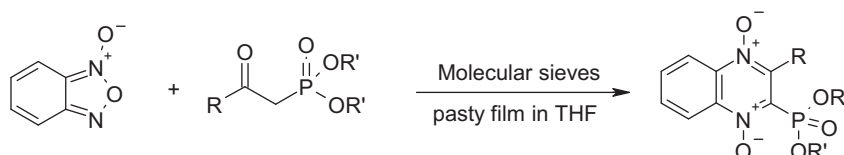
New acyl donors have been found enabling the first regioselective acylation of a rapamycin derivative without the use of vinyl esters.



First synthesis of 2-phosphonylated quinoxaline 1,4-dioxides: an extension to the Beirut reaction

pp 5516–5520

Samir Dahbi, Ebtissem Methnani, Philippe Bissere*

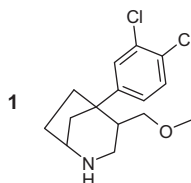


We report the first synthesis of 2-phosphonylated quinoxaline 1,4-dioxides using an extension of the Beirut reaction.

Synthesis of 5-(3,4-dichlorophenyl)-4-[(methoxy)methyl]-2-azabicyclo[3.2.1]octane derivatives as constrained aryl-piperidines with activity as triple re-uptake inhibitors

pp 5521–5524

Roberto Profeta*, Jens Klein, Simone Spada, Francesco Ferroni, Alfredo Paio, Daniele Andreotti*

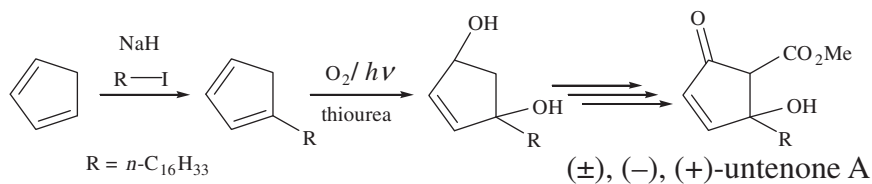


Stereochemical and synthetic aspects encountered during the preparation of the four possible isomers of **1** are reported. The 5-aryl 2-azabicyclo [3.2.1] octane derivatives represent a novel class of compounds which can be deemed as an example of aryl-piperidine conformationally constrained of potential interest for medicinal chemistry exploration. In particular isomers of **1** are characterised by a potent in vitro serotonin, dopamine and noradrenaline re-uptake inhibitor (TRUI) activity superior/comparable to standard compounds such as DOV 21,947 and DOV 102,677.

Convenient synthesis of a marine cyclopentanoid: untenone A

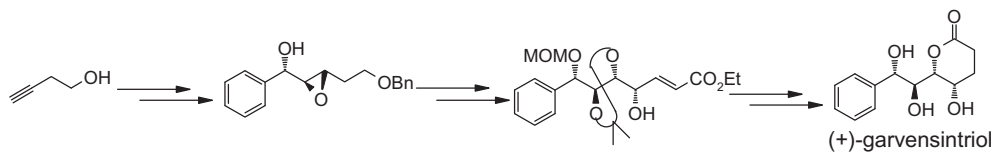
pp 5525–5528

Takahiro Kunitada, Rikiya Omatsu, Nobuo Tanaka, Nobuyuki Imai, Tsutomu Inokuchi, Junzo Nokami*

**The stereoselective total synthesis of (+)-garvensintriol**

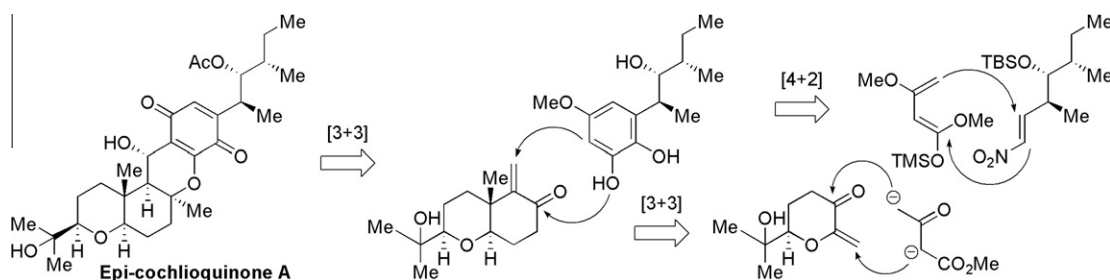
pp 5529–5531

J. S. Yadav*, U. V. Subba Reddy, B. Anusha, B. V. Subba Reddy

**The first total synthesis and structural determination of epi-cochlioquinone A**

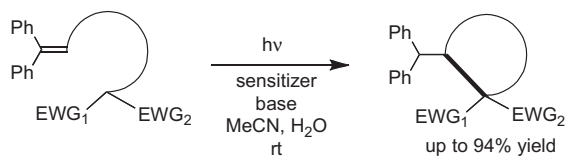
pp 5532–5536

Seijiro Hosokawa*, Kaoru Matsushita, Shinpei Tokimatsu, Tatsuya Toriumi, Yasuaki Suzuki, Kuniaki Tatsuta*

**Intramolecular polar addition reactions of active methylene moieties to aryl-substituted alkenes via photoinduced electron transfer**

pp 5537–5539

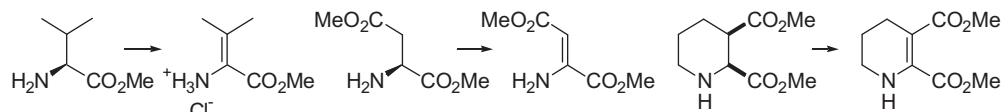
Maki Ohashi, Keisuke Nakatani, Hajime Maeda, Kazuhiko Mizuno*



A highly efficient method for the α,β -dehydrogenation of α -amino esters and α -amino- β -diesters

pp 5540–5542

Marco Pallavicini*, Cristiano Bolchi, Laura Fumagalli, Oreste Piccolo, Ermanno Valoti



'Melen complexes': a new family of Schiff base metal chelates derived from di-Meldrum's acid derivatives

pp 5543–5545

Antonio Garrido Montalban*, Jorge Alonso, Andrew J. P. White, David J. Williams

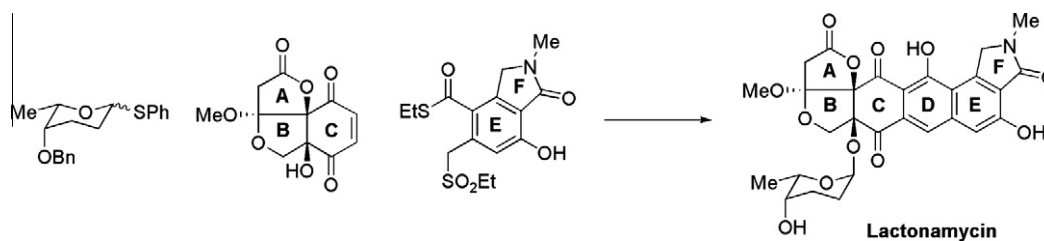


A new family of N_2O_2 -tetradentate ligands and complexes derived thereof, based on Meldrum's acid and diamines, has been developed.

The first total synthesis of lactonamycin, a hexacyclic antitumor antibiotic

pp 5546–5549

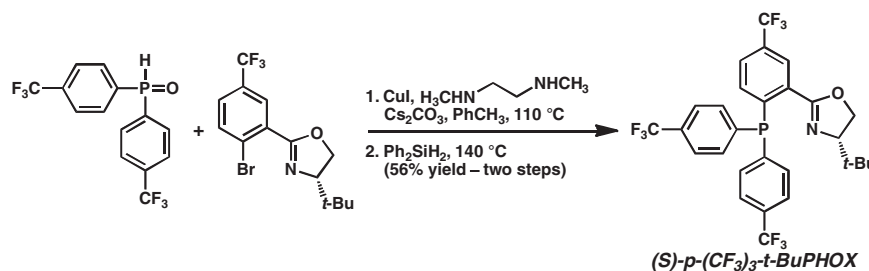
Kuniaki Tatsuta*, Hiroaki Tanaka, Hitomi Tsukagoshi, Takafumi Kashima, Seiji Hosokawa



Rapid synthesis of an electron-deficient *t*-BuPHOX ligand: cross-coupling of aryl bromides with secondary phosphine oxides

pp 5550–5554

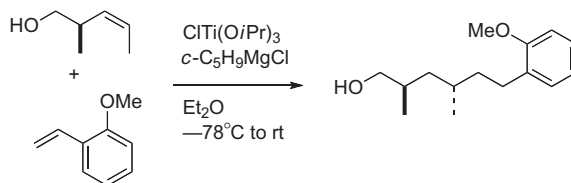
Nolan T. McDougal, Jan Streuff, Herschel Mukherjee, Scott C. Virgil, Brian M. Stoltz*



Cross-coupling of homoallylic alcohols with styrene

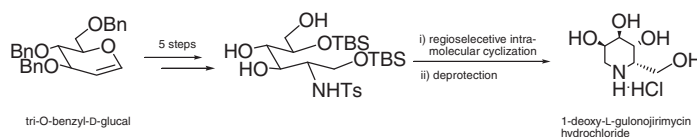
pp 5571–5573

Madhesan Balakrishnan, Jin Kun Cha*

**A new and short synthesis of naturally occurring 1-deoxy-L-gulonojirimycin from tri-O-benzyl-D-glucal**

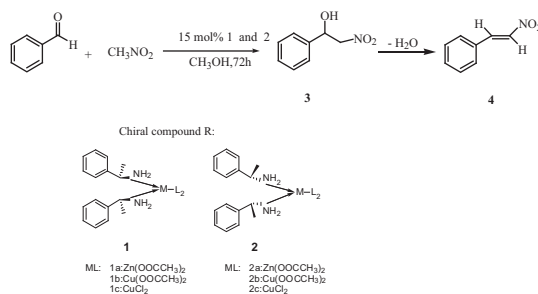
pp 5574–5576

Muthupandian Ganesan, Namakkal G. Ramesh*

**Enantioselective Henry reactions catalyzed by chiral N-metal complexes containing R(+)/S(-)- α -ethylphenyl amines**

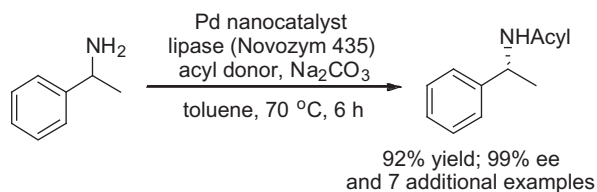
pp 5577–5580

Mei Luo*, Bing Yan*

**Fast racemization and dynamic kinetic resolution of primary benzyl amines**

pp 5581–5584

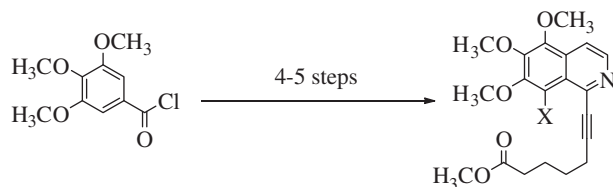
Yunwoong Kim, Jaiwook Park*, Mahn-Joo Kim*



Studies toward the total synthesis of eleftefine: an efficient construction of the AB ring system

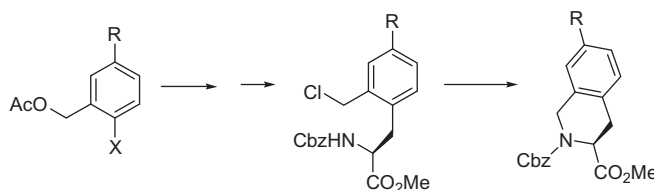
pp 5585–5587

Jeremy A. Cody*, Ijaz Ahmed, Douglas J. Tusch

**Enantioselective synthesis of constrained phenylalanine analogues**

pp 5588–5591

Prasad V. Chaturvedula*, Stephen E. Mercer, Leatte Guernon, John E. Macor, Gene M. Dubowchik

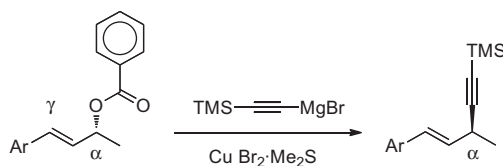


Constrained phenylalanine derivatives containing hydrophobic, hydrogen bond acceptor and/or donor functionalities were synthesized through a tandem palladium-mediated Heck reaction followed by a rhodium(II)-catalyzed asymmetric hydrogenation. Aryl bromides were found to be better substrates and provided products with higher purity and in good yield. The cesium carbonate-mediated cyclization proceeded smoothly in good yield and optical purity. Aryl iodides reacted selectively over bromides under Jeffery-type conditions (Pd(OAc)₂, Bu₄NCl, Et₃N) providing an opportunity for further functionalization.

S_N2-Selective allylic substitution of chiral γ -aryl substituted allylic picolinates with alkynylcopper reagents

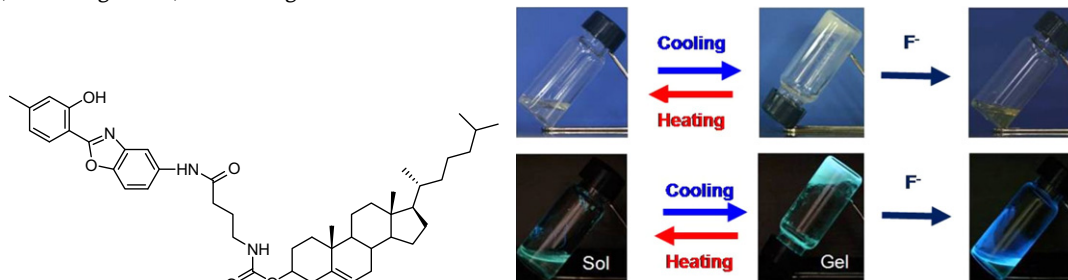
pp 5592–5595

Qian Wang, Yuichi Kobayashi*

**Synthesis of organogelling, fluoride ion-responsive, cholesteryl-based benzoxazole containing intra- and intermolecular hydrogen-bonding sites**

pp 5596–5600

Tae Hyeon Kim, Na Young Kwon, Taek Seung Lee*

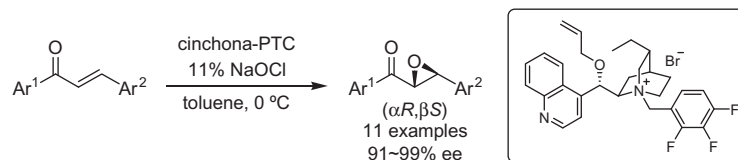


A cholesteryl-based HPB derivative linked with an amide bond was synthesized to incorporate organogelling and fluoride ion-responsive properties.

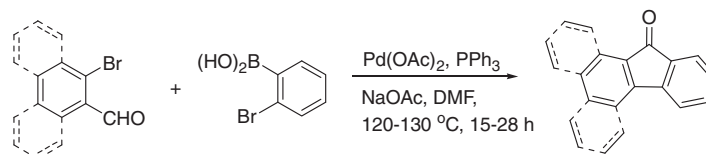


Synthesis of (α R, β S)-epoxyketones by asymmetric epoxidation of chalcones with cinchona phase-transfer catalysts pp 5601–5603

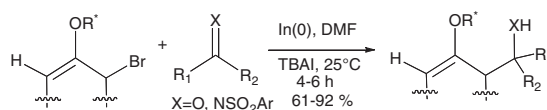
Mi-Sook Yoo, Dong-Guk Kim, Min Woo Ha, Sang-sup Jew, Hyeung-geun Park*, Byeong-Seon Jeong*

**Palladium-catalyzed one-pot Suzuki coupling followed by arylpalladium addition to aldehyde: a convenient route to fluoren-9-one derivatives** pp 5604–5608

Sunanda Paul, Shubhankar Samanta, Jayanta K. Ray*

**Indium-mediated allylation of aldehydes, ketones and sulfonimines with 2-(alkoxy)allyl bromides** pp 5609–5612

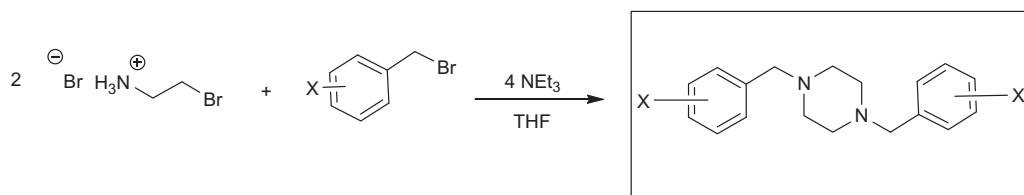
Heemal Dhanjee, Thomas G. Minehan*



Indium-mediated allylation of aldehydes, ketones, and sulfonimines with 2-(alkoxy)allyl bromides furnishes the corresponding homoallylic alcohols and sulfonamides in high yields. The products can be readily transformed into β -hydroxy ketones and esters, as well as substituted dihydropyrans and protected β -amino acids. Chiral 2-(alkoxy)propenyl halides, derived from (–)-menthol and D-glucal, furnish products in moderate diastereomeric excess.

**An expedient in situ preparation of symmetrical 1,4-dibenzylpiperazines from benzyl bromides and 2-bromoethylamine hydrobromide** pp 5613–5614

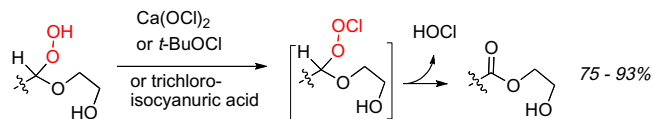
Lynn M. Bradley*, Michael J. Nardone, David A. Hunt



Fragmentation of chloroperoxides: hypochlorite-mediated dehydration of hydroperoxyacetals to esters

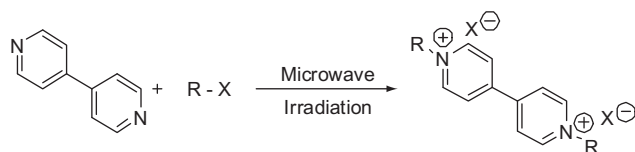
pp 5615–5617

Thomas J. Fisher, Patrick H. Dussault*

**Microwave-assisted synthesis of symmetric and asymmetric viologens**

pp 5618–5620

Massimiliano Lamberto*, Elizabeth E. Rastede, Justyne Decker, Francisco M. Raymo*

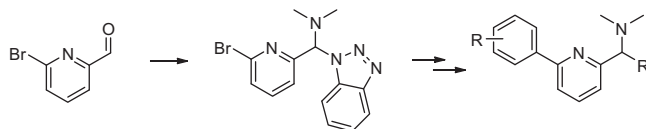


Symmetric and asymmetric viologens were synthesized under the assistance of microwave irradiation in good to excellent yields and in short reaction times

A practical synthesis of *N,N*-dimethyl-(6-arylpyrid-2-yl)alkylamines

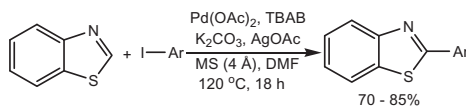
pp 5621–5623

Catherine A. Faler


**Palladium(0) nanoparticles-catalyzed ligand-free direct arylation of benzothiazole via C–H bond functionalization**

pp 5624–5627

Debasree Saha, Laksmikanta Adak, Brindaban C. Ranu*



*Corresponding author

 Supplementary data available via ScienceDirect

COVER

The first total synthesis of lactonamycin has been achieved. The synthesis features the highly convergent route involving the cascade sequence to form the 5-5-6 rings system having three tetra-substituted carbons.

Tetrahedron Letters **2010**, 51, 5546–5549.

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